

PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT  
(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PH/5-70177A	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/EP 03/13024	International filing date (day/month/year) 20.11.2003	Priority date (day/month/year) 21.11.2002
International Patent Classification (IPC) or both national classification and IPC A01N43/54		
Applicant SYNGENTA PARTICIPATIONS AG et al.		

- This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 7 sheets, including this cover sheet.  
  
☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).  
  
These annexes consist of a total of sheets.

- This report contains indications relating to the following items:
  - I ☒ Basis of the opinion
  - II ☐ Priority
  - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
  - IV ☐ Lack of unity of invention
  - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
  - VI ☐ Certain documents cited
  - VII ☐ Certain defects in the international application
  - VIII ☐ Certain observations on the international application

Date of submission of the demand  26.04.2004	Date of completion of this report  14.12.2004
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**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/13024**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-27 as originally filed

**Claims, Numbers**

1-11 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**see separate sheet**

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/13024**

**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- ☐ the entire international application,
  - ☒ claims Nos. 1,3 (partly) and 2,4-11  
because:
    - ☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):
    - ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
    - ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
  - ☒ no international search report has been established for the said claims Nos. 1,3 (partly) and 2,4-11
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
- ☐ the written form has not been furnished or does not comply with the Standard.
  - ☐ the computer readable form has not been furnished or does not comply with the Standard.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1,3(all partly)
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1,3(all partly)
Industrial applicability (IA)	Yes: Claims	1,3(all partly)
	No: Claims	

2. Citations and explanations

**see separate sheet**

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

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International application No. PCT/EP 03/13024

**Re Item I**

**Basis of the report**

The documents mentioned in this International Preliminary Examination Report are numbered in accordance with the order they appear in the International Search Report.

**Re Item III**

**Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

The IPEA agrees on the non-unity findings under Rule 13 PCT of the ISA:

The present application relates first to synergistic herbicidal compositions comprising pyribenzoxim and a second herbicide as listed in present claim 1 under component b).

The technical problem to be solved by the present application a priori is to provide herbicidal compositions with enhanced activity and selectivity.

The proposed solution is the use of a further herbicide as synergist.

D1 (US2001/0039245) discloses synergistic herbicidal compositions comprising a first ingredient, which is pyribenzoxim or bispyribac and a second herbicide from a list. D1 explicitly discloses selective synergistic herbicidal compositions containing pyribenzoxim as a preferred compound and a second herbicide in the examples. All the example relate indeed to pyribenzoxim. The second herbicide can be chosen in a list (see paragraphs 21-42). One skilled in the art is able to make a selection within a single list of second herbicides.

D2 (WO01/24633) discloses synergistic herbicidal compositions comprising a first ingredient, which is a phenopyrimidine, preferably pyribenzoxim or bispyribac and a second herbicide from a list.

Synergism is generally considered to be highly sensitive to structural changes and basically unpredictable. In the present case however, this principle is altered by the fact that bispyribac and pyribenzoxim are mentioned in D1 and D2 as alternative to each other. These 2 herbicides are not only closely related structural analogs, but are known for having the same metabolic target (ALS/AHAS inhibitors, as mentioned in the Pesticide Manual, 12th Edition, cited in the present application). Moreover, pyribenzoxim is an iminoester of

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP 03/13024

bispyribac, which is chemically considered as a labile derivative, i.e. prone to hydrolysis. Furthermore, assuming that a synergy would occur when replacing bispyribac with pyribenzoxim is not speculative, since D1 and D2 clearly teach that synergistic combinations can be made either with bispyribac or pyribenzoxim. The fact that every possible combination is not explicitly disclosed does not impair this teaching and the skilled reader would expect to achieve the synergistic selective effect with any of these combinations.

As a general remark, unity a posteriori must be assessed in connection with prior art teaching and contribution over the prior art. This means on one hand, restrictively, that splitting an application into several inventions should not be based upon purely academic arguments, i.e. it should not be done with disregard to the overall (single) contribution made over the prior art. In other words, if unity is acknowledged a priori, every embodiment explicitly or implicitly encompassed within an invention as defined in the claims constitutes a part of the global contribution over the prior art. This contribution is not limited to explicit examples nor are specific distinct examples a valid argument for challenging unity. On the other hand, as a counterpart to this restriction, the prior art should not be read in a purely academic way either. The prior art's teaching is thus not restricted to explicitly disclosed examples but rather to what the skilled reader would reasonably and directly understand.

Synergistic selective herbicidal compositions containing pyribenzoxim are known from D1. Therefore, the technical problem underlying the present application must be reformulated a posteriori as to provide alternatives to the known synergistic compositions. In other words, the possible contribution to the art is not based anymore on the findings that pyribenzoxim shows a synergistic activity with a second herbicide, but that pyribenzoxim shows a synergistic activity with some specific further second (families or classes of) herbicides. The criteria of unity of invention as set out in Rule 13 PCT are thus not fulfilled.

For sake of conciseness, the second herbicides are numbered B1-B44 according to their order in claim 1. The second herbicides according to present claim 1 can be prima facie divided into 26 groups (G1-G26) due to structure/activity analogy: G1(B1,B2), G2(B3,B41), G3(B4,B24), G4(B5), G5(B6), G6(B7,B9,B10), G7(B8,B16), G8(B11,B12,B13), G9(B14,B15,B39), G10(B17), G11(B18), G12(B19,B20,B21,B22,B23), G13(B25), G14(B26,B27,B28), G15(B29), G16(B30), G17(B31), G18(B32), G19(B33), G20(B34), G21(B35,B36,B37), G22(B38), G23(B40), G24(B42), G25(B43) and G26(B44).

Amongst the second herbicides mentioned in D1 and D2, some share structural/activity features with the members of a corresponding group of the present application to the same

level that the members of this group amongst themselves.

For instance, although the sulphonylureas of D1 do not appear in the present application, they are as similar to the present sulphonylureas as the latter are with each other. In other words, the prior art sulphonylureas contain all the common linking features shared by the sulphonylureas according to the present application. This special technical feature linking the group G8 together was thus known at the relevant date. Consequently, this group must be further divided in 3 distinct inventions (the technical problem in this case would be to provide alternative selective synergistic herbicidal compositions containing pyribenzoxim and a sulphonylurea herbicide for which 3 different solutions are proposed). The same applies mutatis mutandis to the groups G2, G7 and G9.

The same reasoning based upon D2 leads to the further division of the groups G1, G3, G6, G12 and G14. The group G21 can at the present stage be considered as forming a single invention. At this stage, there are 42 inventions related to synergy.

Present claim 7 has no relationship whatsoever with synergy and constitutes a priori a different (group of) invention(s). Further, the only common feature with claim 1 is a composition containing pyribenzoxim, which is known (see above). The technical problem to be solved a priori is to provide safened herbicidal compositions containing pyribenzoxim. The proposed solution is to add an antidote from a list of components b) given in present claim 7. D2 describes such safened compositions. Although the explicit examples of D2 relate to bispyribac rather than to pyribenzoxim, the skilled reader would have understood from D2 that safening can be achieved also with pyribenzoxim since the latter is explicitly comprised within the teaching of D2 (see the reasoning on synergy above, applicable mutatis mutandis to safening). Again, the linking technical feature was known at the relevant date. Hence, a posteriori, the technical problem must be reformulated into providing alternative safened compositions, which results in 4 further inventions related to safening.

A total of 46 inventions are presently claimed, but only invention 1 (herbicidal composition containing pyribenzoxim and mesotrione) has been searched, since no further search fee has been paid in reaction to the ISA's invitation (see Item IV). Consequently, **only invention 1 is examined** in this PCT Chapter II procedure.

#### **Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

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International application No. PCT/EP 03/13024

D2 describes synergistic herbicides containing a phenoxy pyrimidine of formula (I) (preferably bispyribac or pyribenzoxim) and a second herbicide from a list including mesotrione. The selection within 2 different lists makes invention 1 formally new over D2 (Art.33(2) PCT). However, this invention does not involve any inventive step (Art.33(3) PCT). Synergy is generally considered to be unpredictable. In the present case, one skilled in the art does not have to predict the synergistic effect since it is explicitly taught by D2. Every and each combination according to D2 is believed to provide a synergistic effect, unless serious doubts or a prejudice can be substantiated. For the selection to involve an inventive step, it has not to be arbitrary, i.e. it must be associated with a specific teaching which was neither known nor expectable. Such a possible teaching has not been substantiated so far.